Product Data Sheet

Thiacetazone

Cat. No.: HY-B1526 CAS No.: 104-06-3 Molecular Formula: $C_{10}H_{12}N_4OS$ Molecular Weight: 236.29

Target: Bacterial; Antibiotic

Pathway: Anti-infection

> Powder -20°C 3 years

4°C 2 years

In solvent -80°C 2 years

> -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

Storage:

DMSO: 100 mg/mL (423.21 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	4.2321 mL	21.1604 mL	42.3209 mL
	5 mM	0.8464 mL	4.2321 mL	8.4642 mL
	10 mM	0.4232 mL	2.1160 mL	4.2321 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (10.58 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (10.58 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (10.58 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Thiacetazone (Thioacetazone) is a thiourea-containing antitubercular agent and is an orally active antibiotic. Thiacetazone has antibacterial action, which inhibits growth of $\textit{Mycobacterium tuberculosis H37Rv}$ with a MIC value of 0.1 μ g/mL ^[1] .
IC ₅₀ & Target	MIC: 0.1 μg/mL (Mycobacterium tuberculosis H37Rv) ^[1]
In Vitro	Thiacetazone is a prodrug that is activated by the mycobacterial monooxygenase EthA, which is also the activator of two other anti-tuberculosis agents, Ethionamide and Isoxyl ^[3] .

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	The K_m and V_{max} values for the N-deacetylation of Thiacetazone are 0.57 mM and 0.123 nmol of p-aminobenzaldehydethiosemicarbazone formed/min/mg cytosolic protein, respectively. The ability to metabolize Thiacetazone is the same in the livers of cat, mouse and human, but lagged significantly in that of rat ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

REFERENCES

- [1]. J L Stigliani, et al. New Insights Into the Chemical Behavior of S-oxide Derivatives of Thiocarbonyl-Containing Antitubercular Drugs and the Influence on Their Mechanisms of Action and Toxicity. Ann Pharm Fr. 2019 Mar;77(2):126-135.
- [2]. P Khanna, et al. Characteristics of a Cytosolic Arylacylamidase Metabolizing Thiacetazone. J Pharmacol Exp Ther. 1992 Sep;262(3):1225-31.
- [3]. Anuradha Alahari, et al. Thiacetazone, an Antitubercular Drug That Inhibits Cyclopropanation of Cell Wall Mycolic Acids in Mycobacteria. PLoS One. 2007 Dec 19;2(12):e1343.
- [4]. C A Peloquin, et al. Pharmacokinetic Evaluation of Thiacetazone. Pharmacotherapy. Sep-Oct 1996;16(5):735-41.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA

Page 2 of 2 www.MedChemExpress.com